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Antiinflammatory 4-hydroxy-2-thiophene carboxylic acid derivs. - useful intermediates for medicines and pesticides, and as medical drugs

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Patent Family:

Patent Family: Publication filing Patent No Kind Date Applicat No Kind Date Main IPC JP 59042375 A 19840308 JP 82153595 A 19820903

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Local Applications (No Type Date): JP 82153595 A 19820903 Priority Applications (No Type Date): JP 82153595 A 19820903 Patent Details: Kind Lan Pg Filing Notes Application Patent JP 59042375 A

Abstract (Basic): JP 59042375 A

Cpds. of formula (I), their salts and esters are new, and have antiinflammatory effect: (R1 is H or 1-4C alkyl; R2 is H, 1-6C alkyl, opt. substd. phenyl, benzoyl, or substd. ben oyl).

42.8% inhibition of carrageenin edema is exhibited in rats when (I) is administered in an oral dose of 25 mg/kg.S In an example, 200 mg of 4-hydroxy-5 -phenyl-2-thiophene carboxylic acid ethyl ester (0.76 mmol) was dissolved in 2 ml of 1N NaOH. To this mixt. 0.1 ml of dimethyl sulphate (1.0 mmol) was added dropwise under stirring. The reaction mixt. was heated under reflux for 2 hrs. After cooling, 5 ml of 10% NaOH ag. soln. was added and stirred at room temp. for 1 hr. The mixt. was adjusted at acidic pH with 10% HCl, extracted with ethyl acetate, and conc. When the residue was recrystallised from cyclohexane-toluene (1:1). 106 mg of 4-methoxy-5 -phenyl-2-thiophene -carboxylic acid was obtd.

Title Terms: ANTIINFLAMMATORY; HYDROXY; THIOPHENE; CARBOXYLIC; ACID; DERIVATIVE; USEFUL; INTERMEDIATE; MEDICINE; PEST; MEDICAL; DRUG

Derwent Class: B03; C02 International Patent Class (Additional): C07D-333/32

TI- Antiinflammatory 4-hydroxy-2-thiophene carboxylic acid derivs. - useful intermediates for medicines and pesticides, and as medical drugs AB- J59042375 Cpds. of formula (I), their salts and esters are new, and have antiinflammatory effect: (R1 is H or 1-4C alkyl; R2 is H, 1-6C alkyl, opt. substd. phenyl, benzoyl, or substd. ben^oyl).

- 42.8% inhibition of carrageenin edema is exhibited in rats when (I) is administered in an oral dose of 25 mg/kg.S In an example, 200 mg of 4-hydroxy-phenyl-2-thiophene carboxylic acid ethyl ester (0.76 mmol) was dissolved in ml of 1N NaOH. To this mixt. 0.1 ml of dimethyl sulphate (1.0 mmol) was added dropwise under stirring. The reaction mixt. was heated under reflux for 2 hrs After cooling, 5 ml of 10% NaOH aq. soln. was added and stirred at room temp. for 1 hr. The mixt. was adjusted at acidic pH with 10% HCl, extracted with ethyl acetate, and conc. When the residue was recrystallised from cyclohexane-toluene (1:1). 106 mg of 4-methoxy-5 -phenyl-2-thiophene -carboxylic acid was obtd.

PN- JP59042375 A 840308 DW8416 009pp

PR- JP820153595 820903

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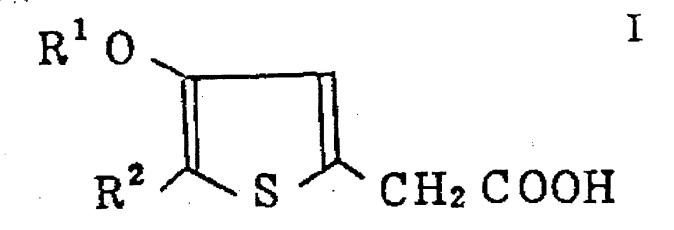
- (MITP) MITSUBISHI YUKA YAKUHIN KK

MC- B07-B01 B12-D07 C07-B01 C12-D07

DC- B03 C02

IC- C07D333/32

AN- 84-097443 [25]



$$R^4$$
 OOCCH₂ C=CHCOOR⁴

$$R^2$$

$$R^2$$

$$R^2$$

$$R^2$$

